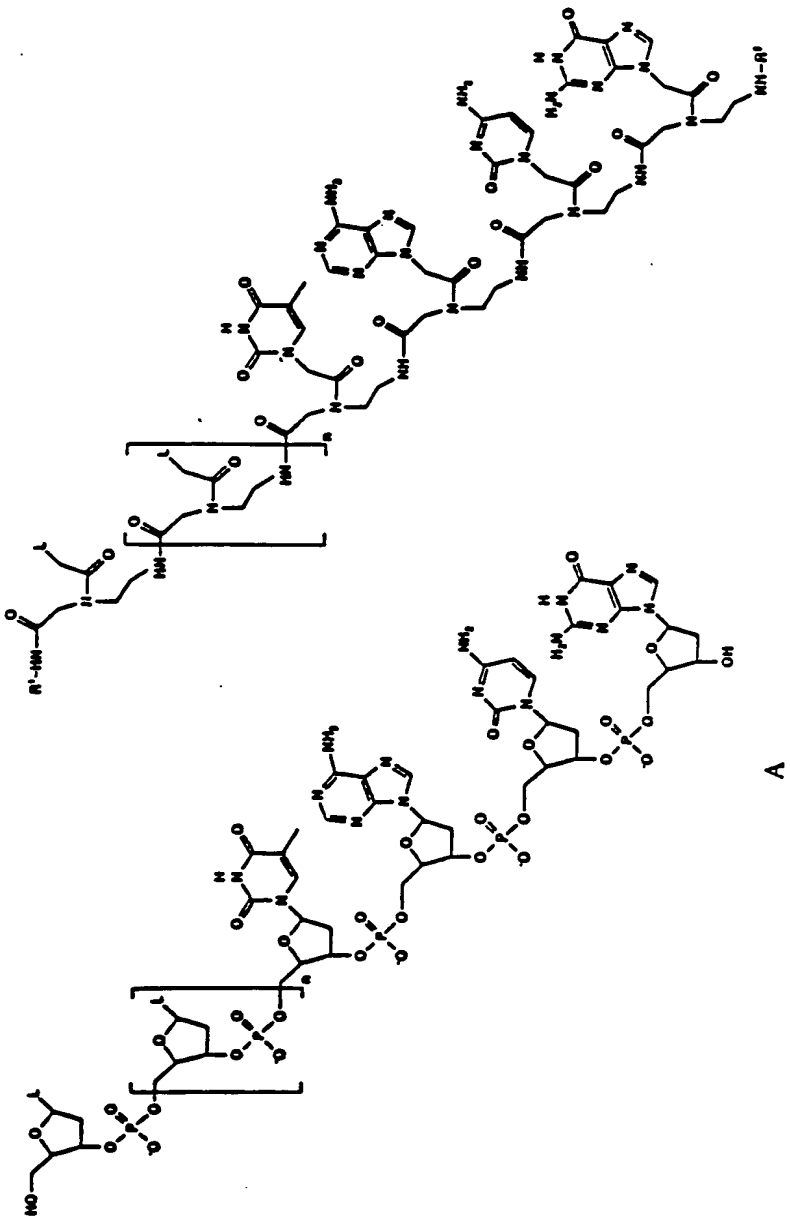


FIGURE 1

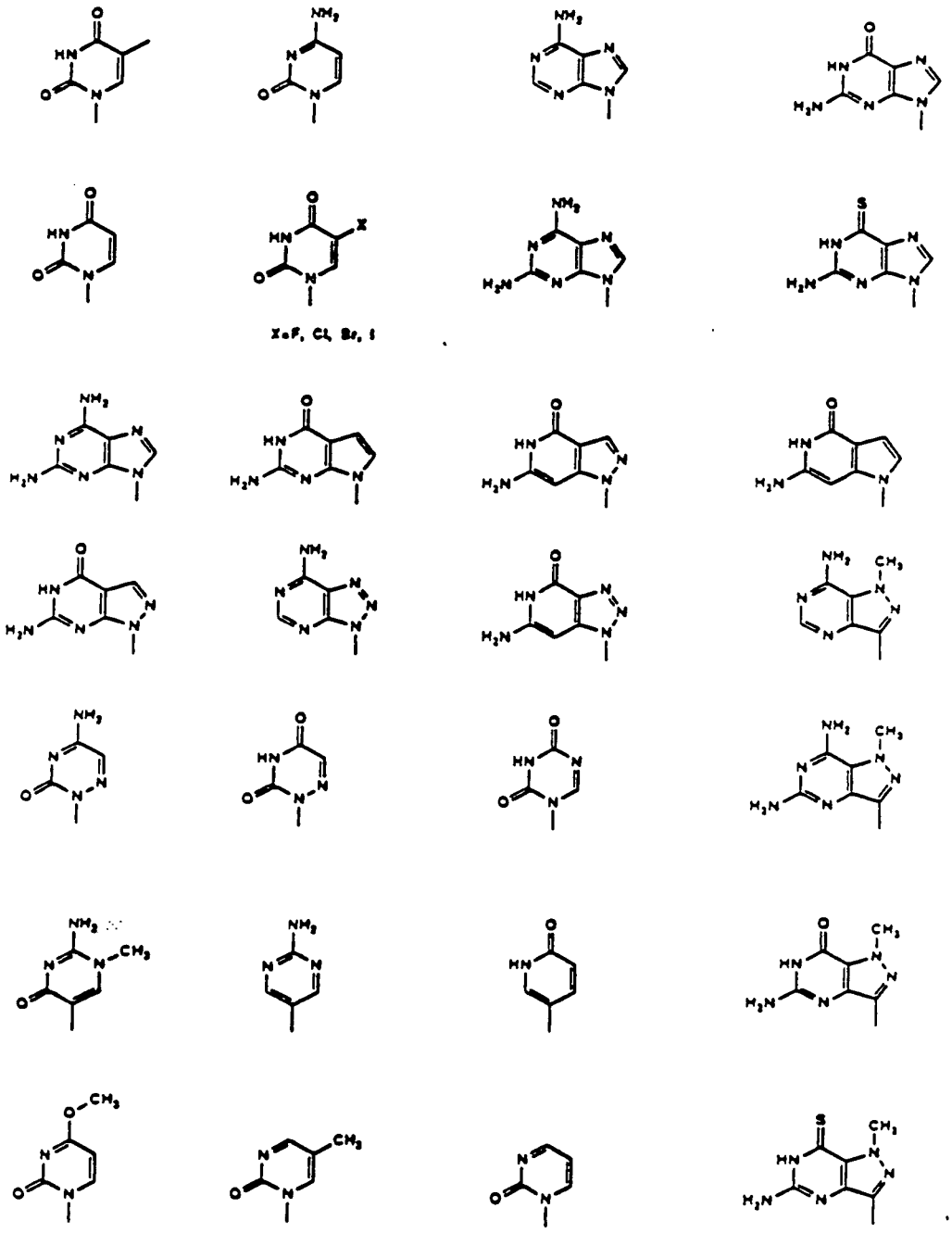


FIGURE 2

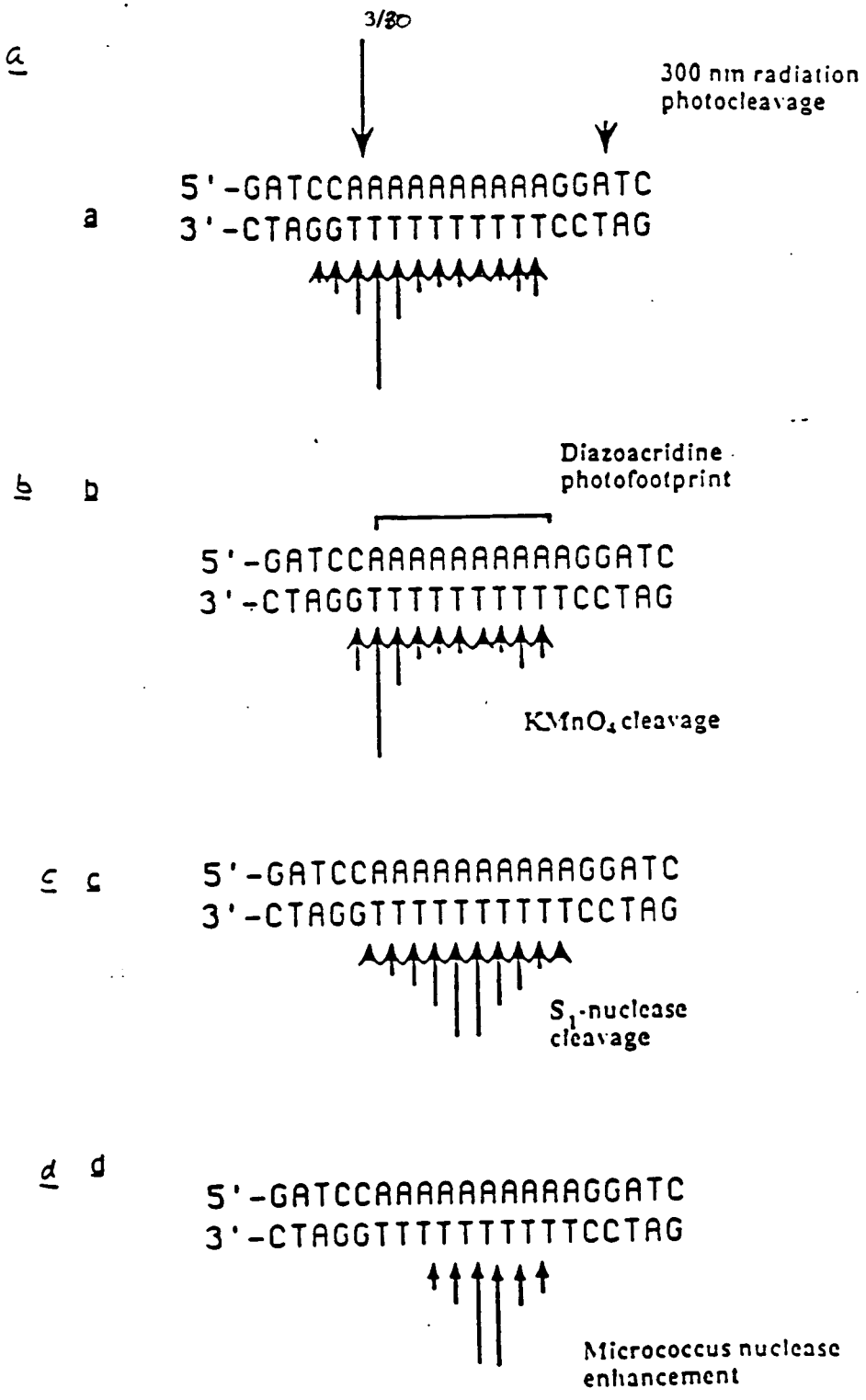

a
300 nm radiation
photocleavage
5'-GATCCAAAAAAAAAAGGATC
3'-CTAGGTTTTTTTTTTCCTAG
b
Diazoacridine
photofootprint
5'-GATCCAAAAAAAAAAGGATC
3'-CTAGGTTTTTTTTTTCCTAG
KMnO4 cleavage
c
5'-GATCCAAAAAAAAAAGGATC
3'-CTAGGTTTTTTTTTTCCTAG
S1-nuclease
cleavage
d
5'-GATCCAAAAAAAAAAGGATC
3'-CTAGGTTTTTTTTTTCCTAG
Micrococcus nuclease
enhancement

FIGURE 3

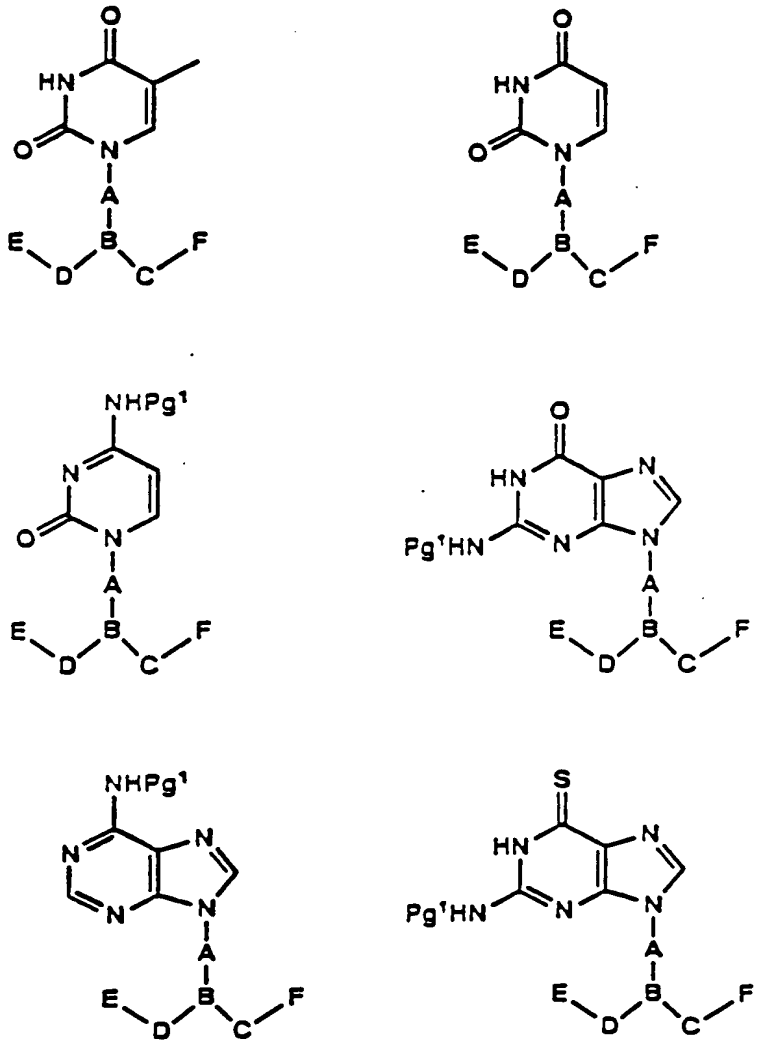
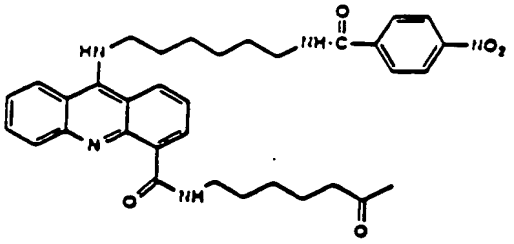


FIGURE 4



Acr²

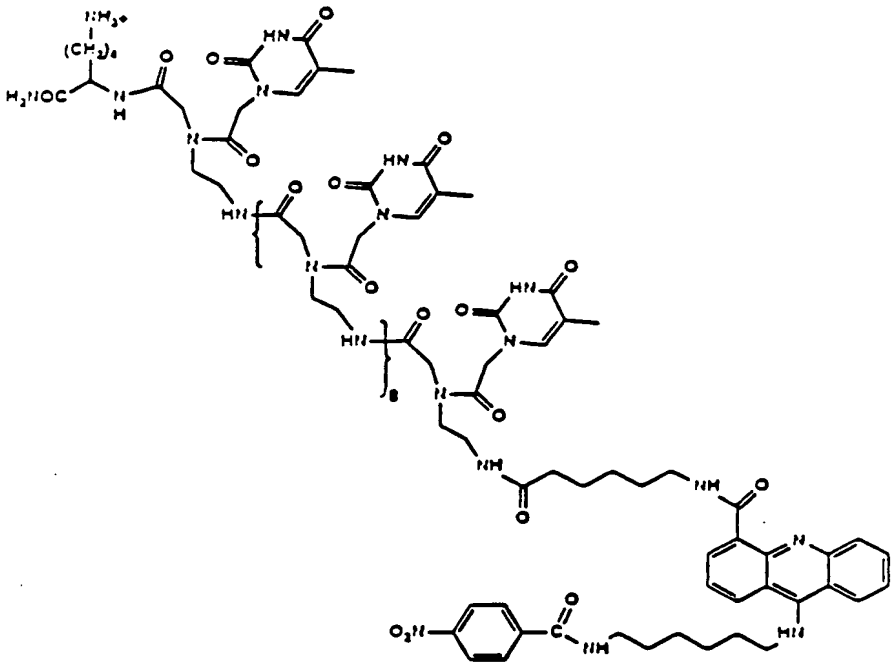


FIGURE 5

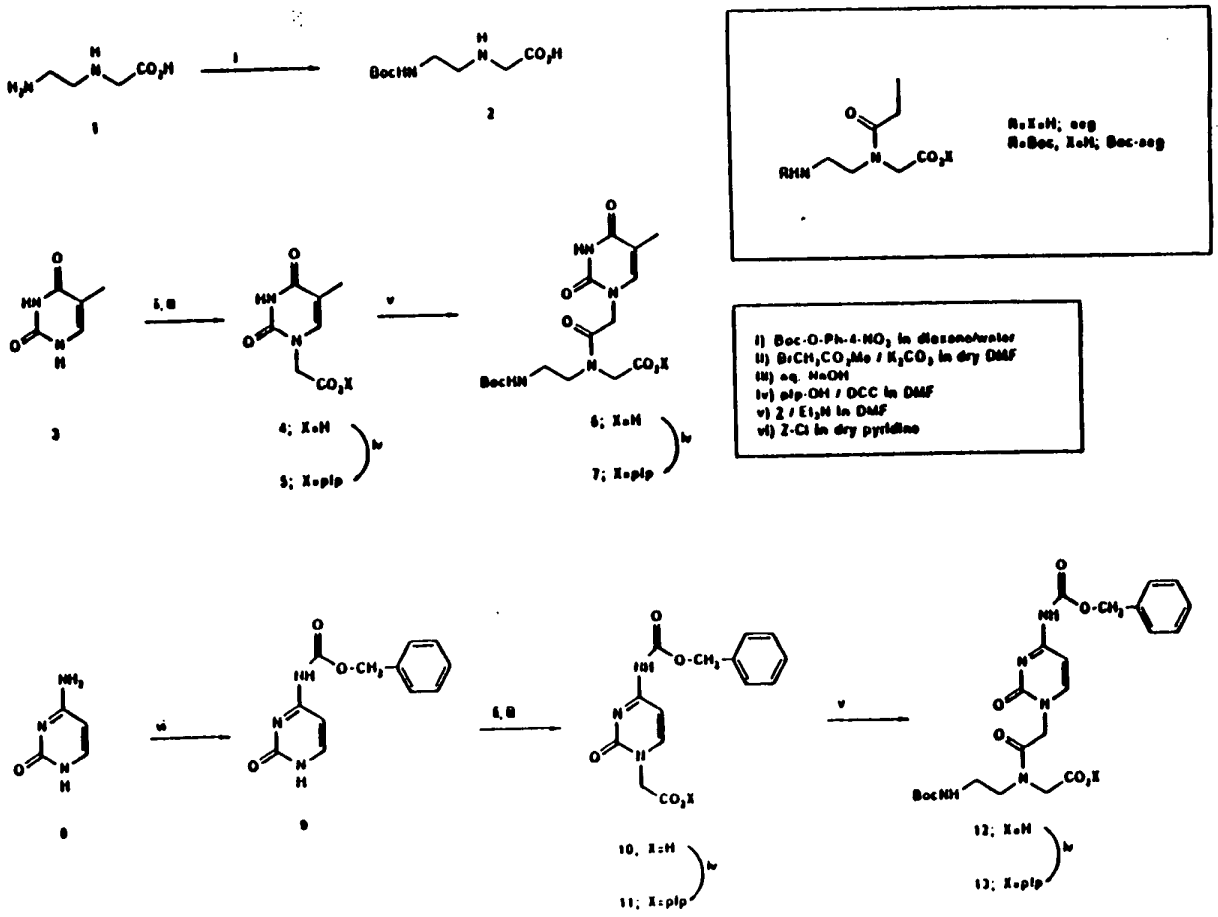

R=X=H; aeg
R=Boc, X=H; Boc-aeg
i) Boc-O-Ph-4-NO2 in dioxane/water
ii) BrCH2CO2Me / K2CO3 in dry DMF
iii) aq. NaOH
iv) pip-OH / DCC in DMF
v) Z / Et3N in DMF
vi) Z-Cl in dry pyridine

FIGURE 6

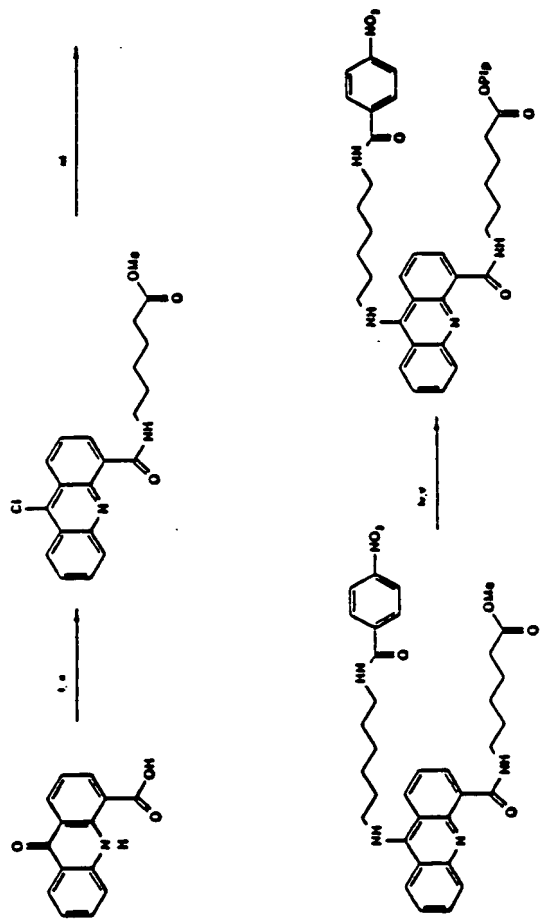

i) SOCl2/DMF(cat.) reflux
ii) H2N(CH2)5CO2CH3/Et3N/CH2Cl2, at 0°C
iii) PhOH/4-NO2-Ph-CONH(CH2)5NH2, at 120°C
iv) DMF/aq. NaOH
v) DMF/CH2Cl2/PipOH/DCC

FIGURE 7

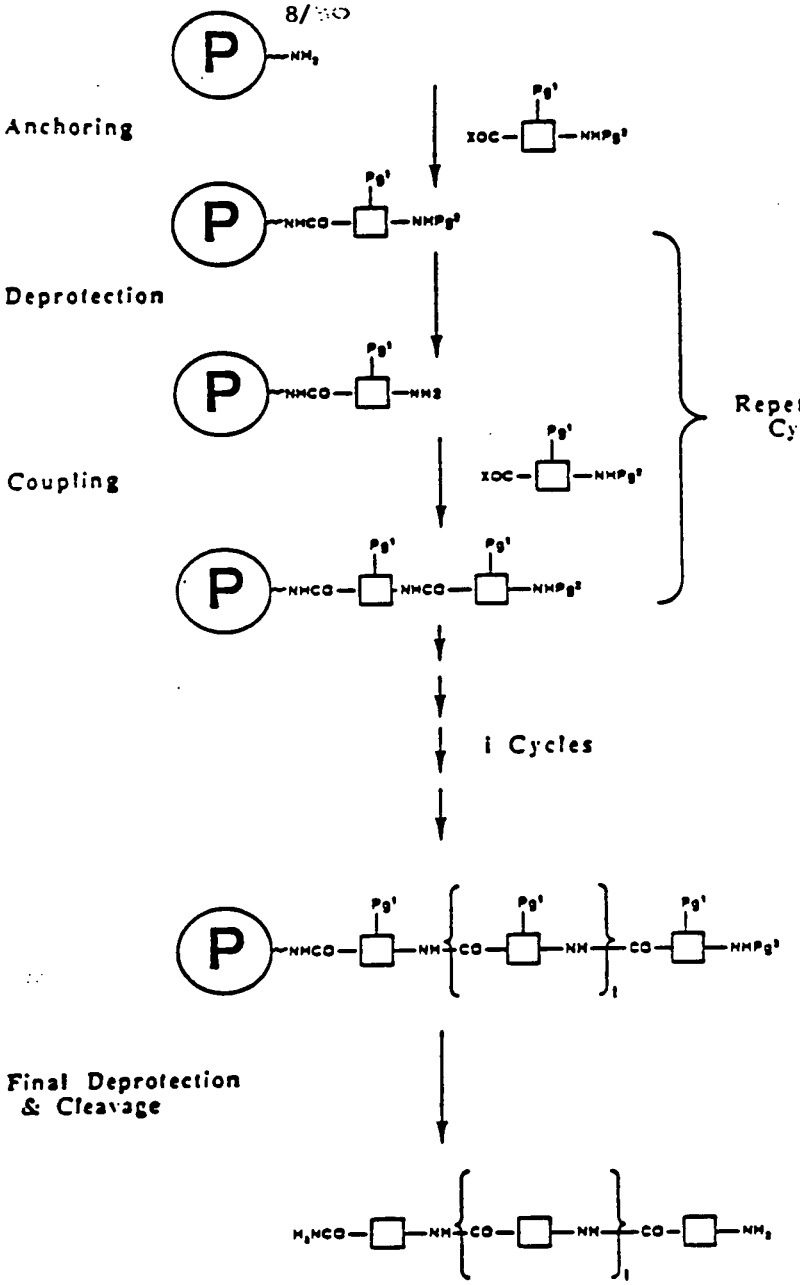

Anchoring
Deprotection
Coupling
Repetitive Cycle
i Cycles
Final Deprotection & Cleavage

FIGURE 8

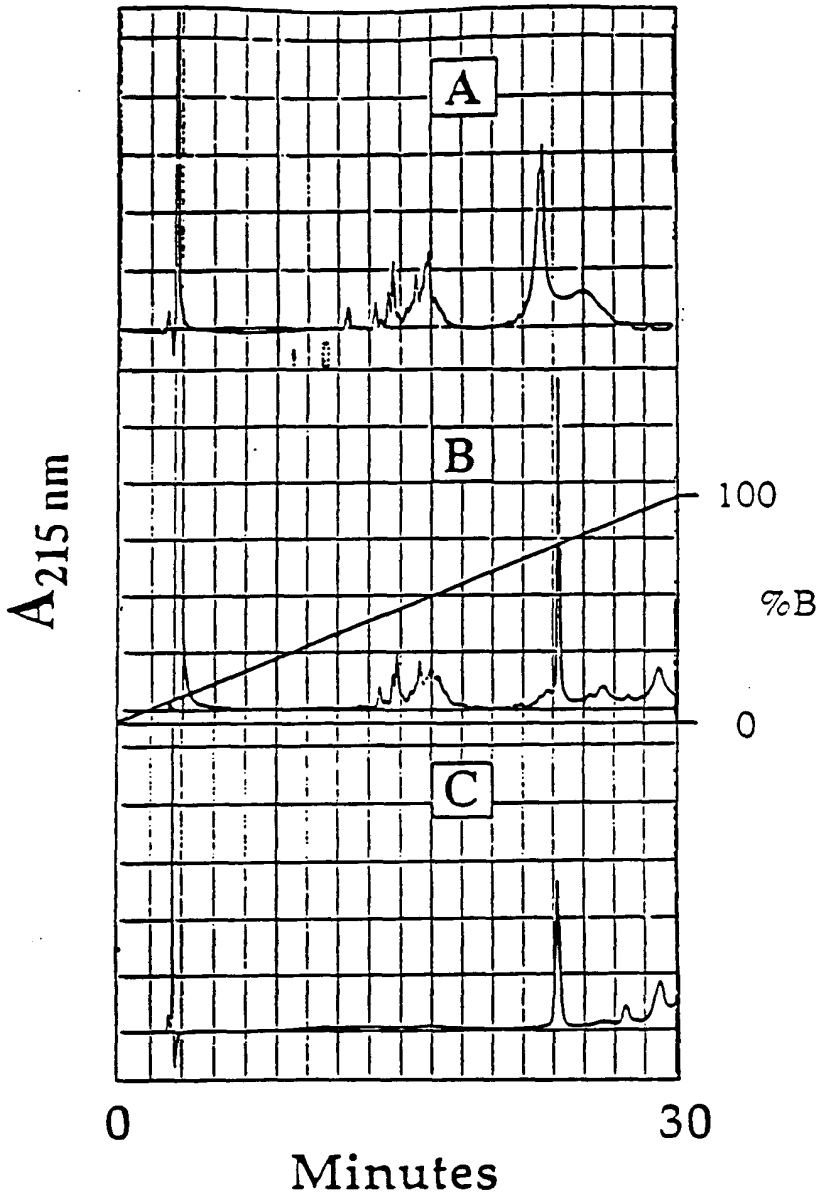


FIGURE 9

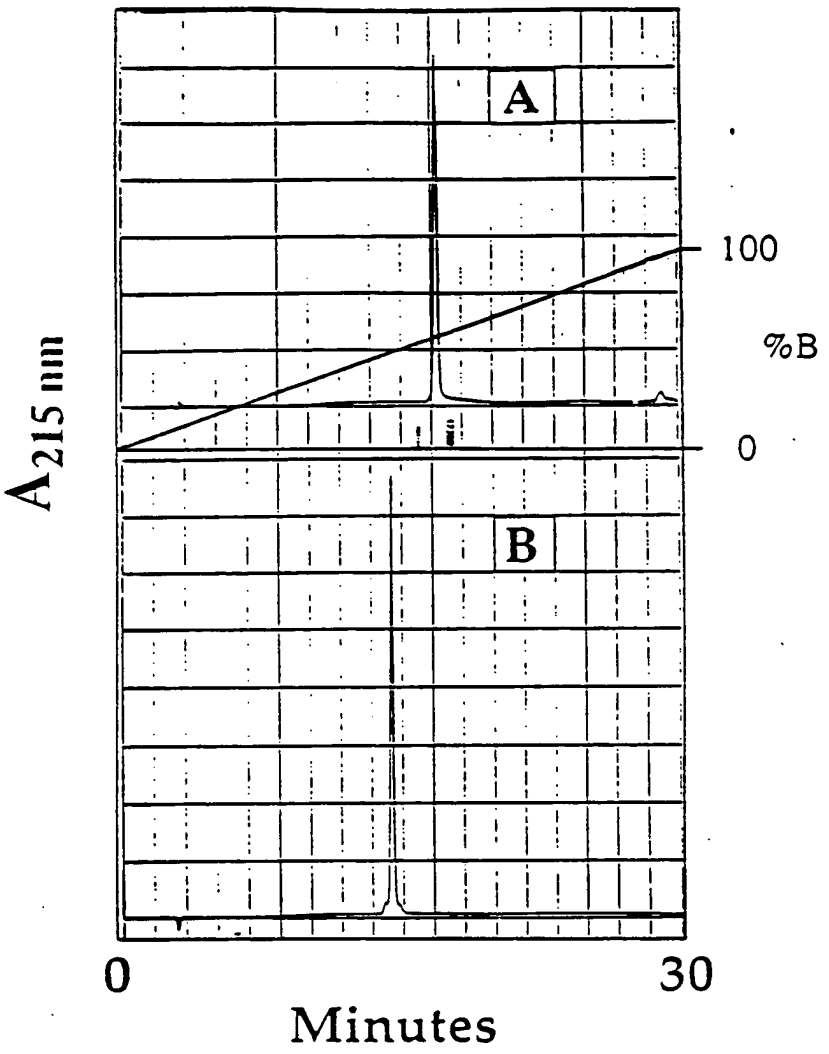


FIGURE 10

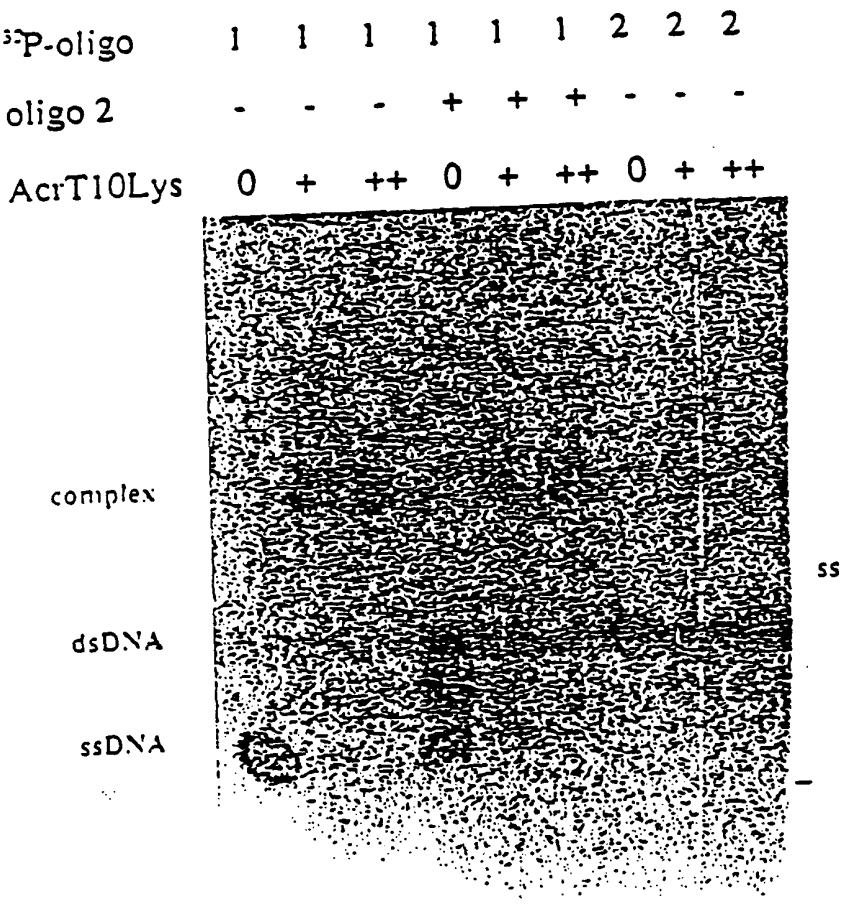

32P-oligo 1 1 1 1 1 1 2 2 2
oligo 2 - - - + + + - - -
AcrT10Lys 0 + ++ 0 + ++ 0 + ++
complex
dsDNA
ssDNA
ss

FIGURE 11 (a)

^{32}P-oligo	1	1	1	1	1	1	2	2	2
oligo 2	-	-	-	+	+	+	-	-	-
AcrT10Lys	0	+	++	0	+	++	0	+	++

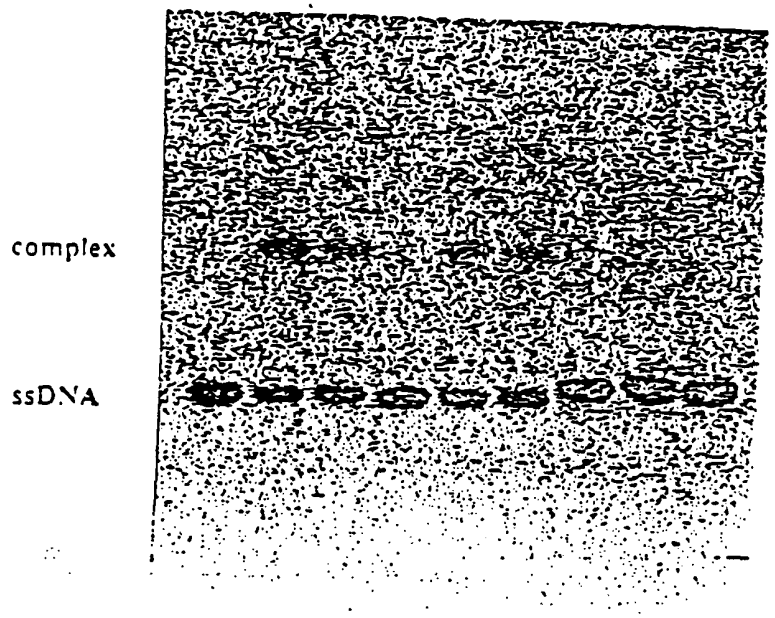


FIGURE 11 (b)

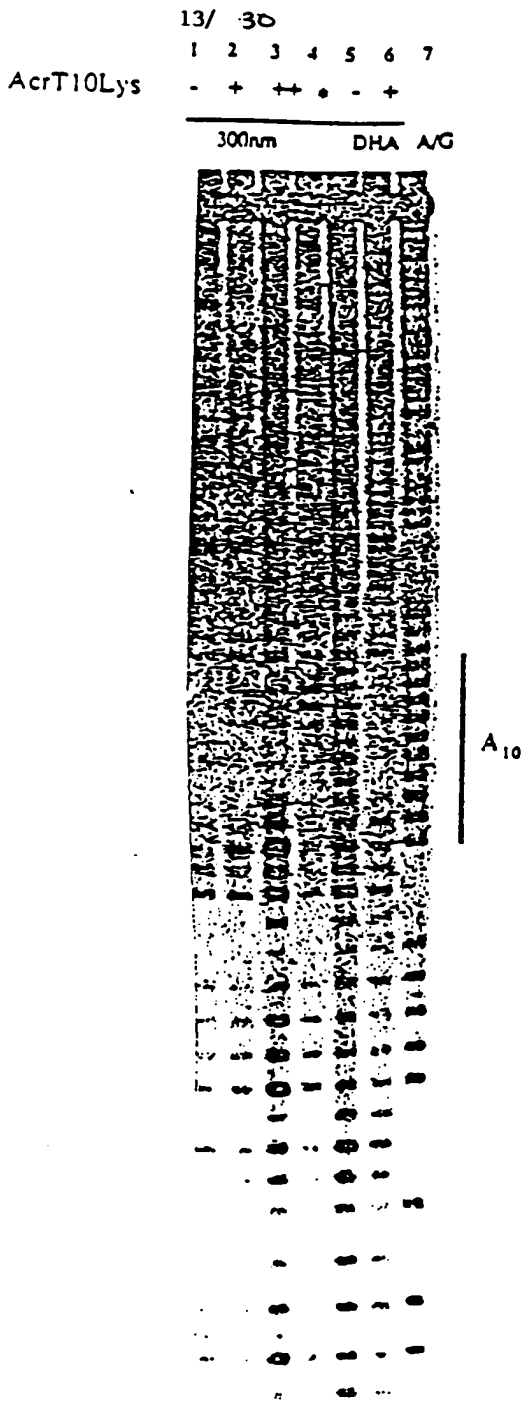


FIGURE 12 (a)

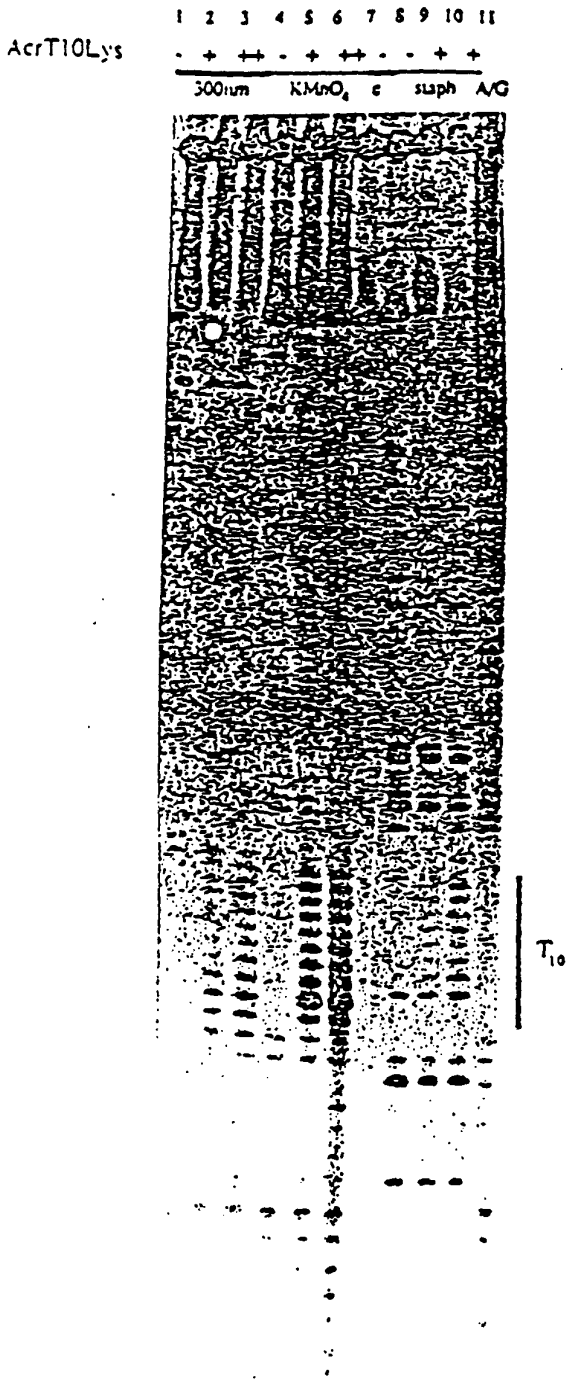


FIGURE 12(B)

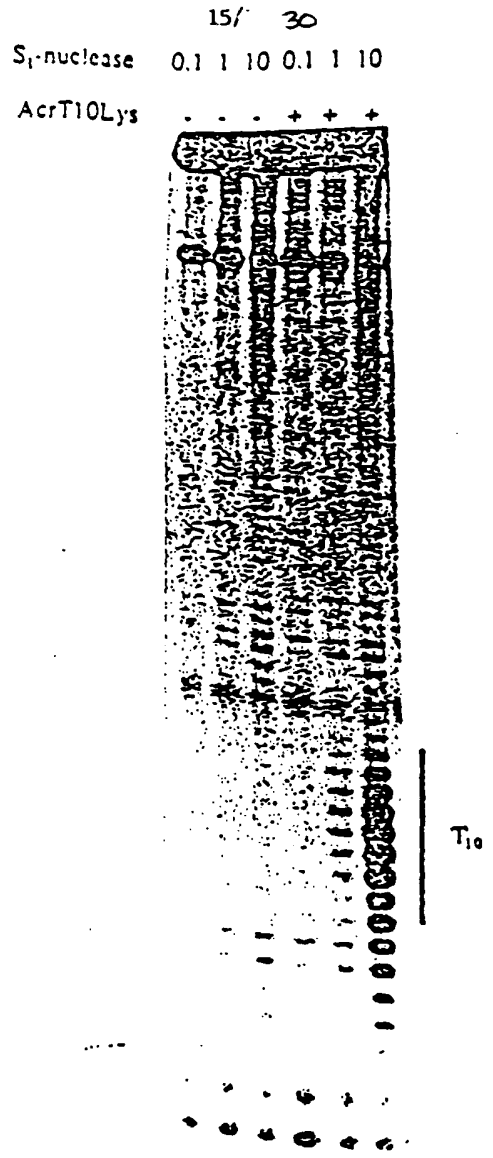


FIGURE 12 (c)

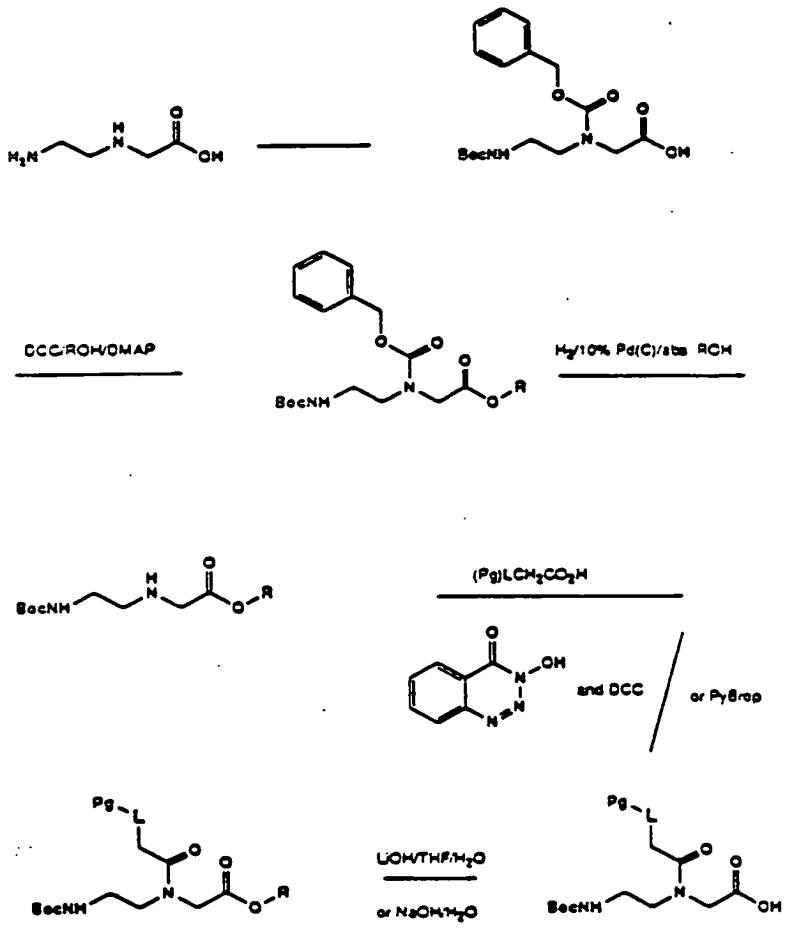

DCC/ROH/DMAP
H2/10% Pd(C)/abs ROH
(Pg)LCH2CO2H
and DCC
or PyBrop
LiOH/THF/H2O
or NaOH/H2O

FIGURE 13

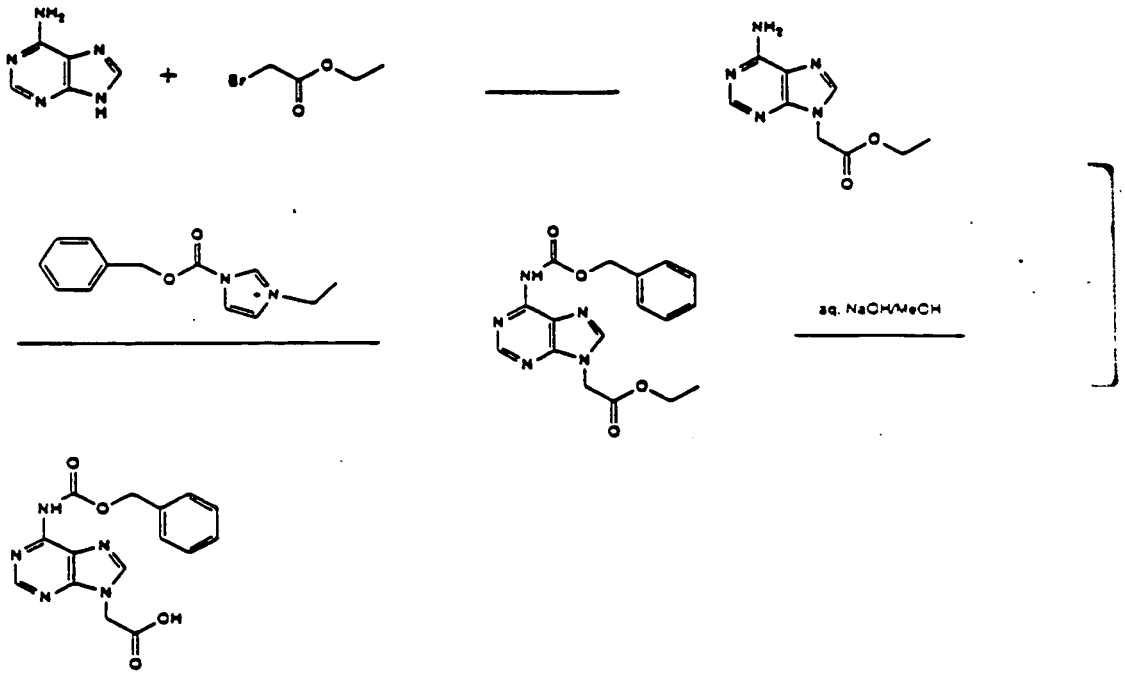


FIGURE 14

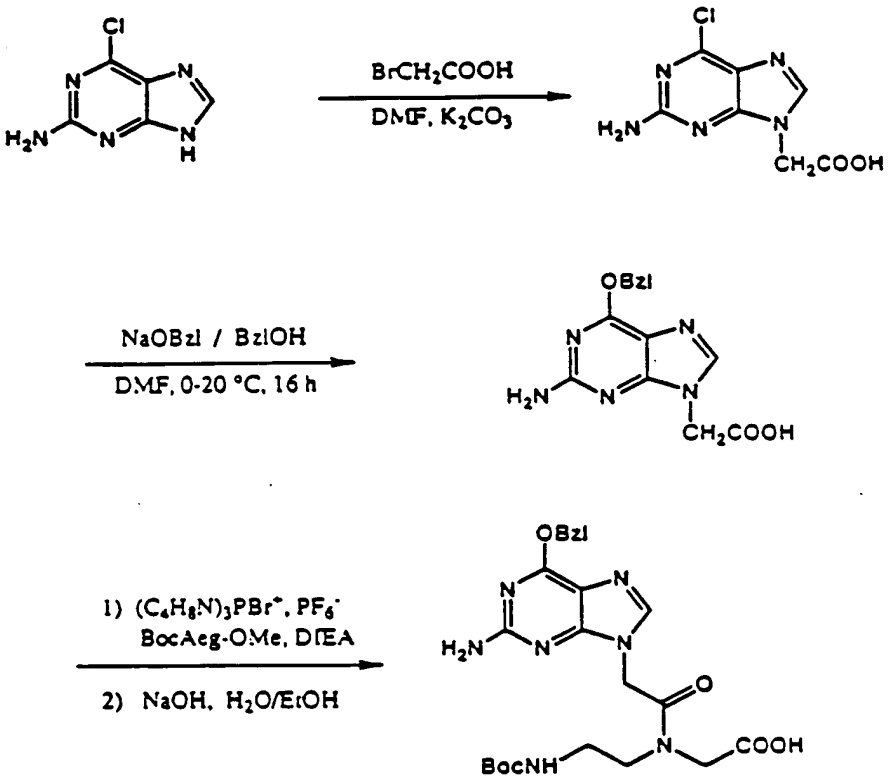
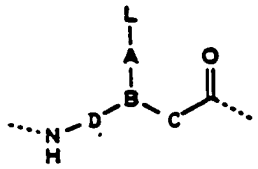
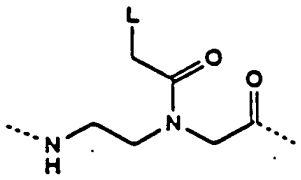

Cl
N
N
H2N
N
H
BrCH2COOH
DMF, K2CO3
CH2COOH
NaOBzl / BzlOH
DMF, 0-20 °C, 16 h
OBzl
1) (C4H8N)3PBr+, PF6-
BocAeg-OMe, DIEA
2) NaOH, H2O/EtOH
O
BocNH
COOH

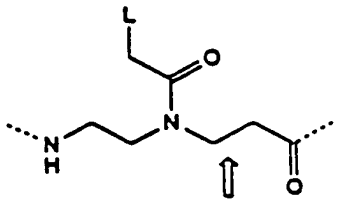
FIGURE 15

Alterations of A,B, C and D

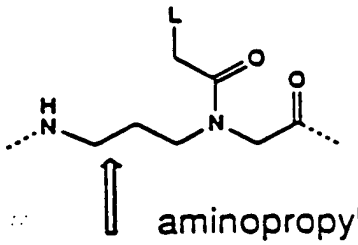




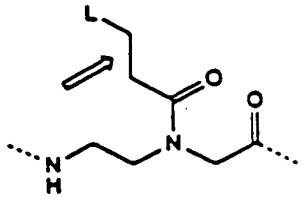
aminoethyl glycine



β-alanine



aminopropyl



propionyl

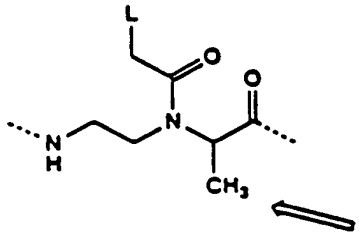
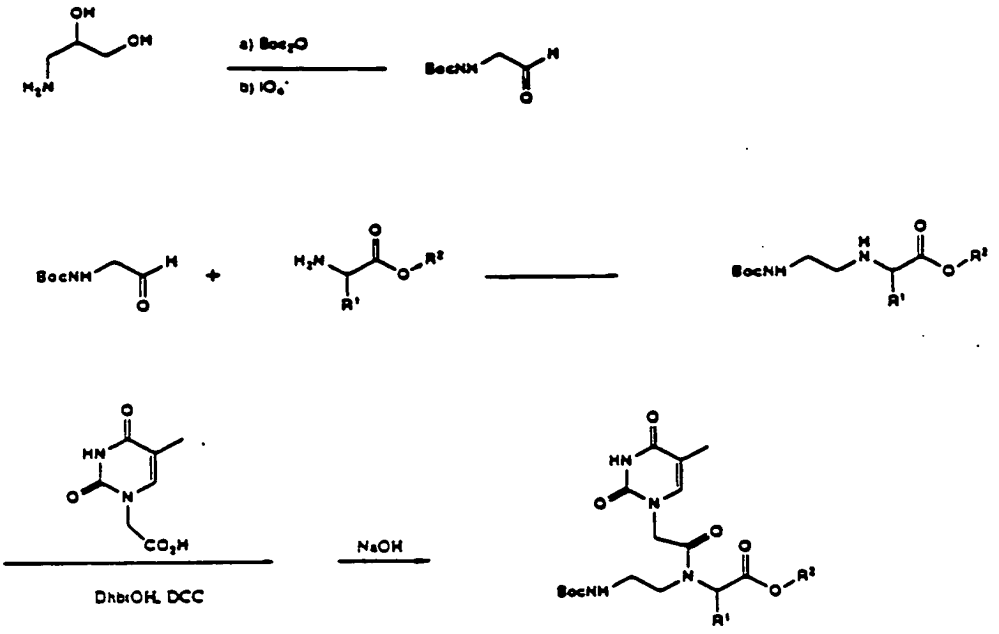


FIGURE 16



R^1 = amino acid sidechain

R^2 = methyl, ethyl etc.

FIGURE 17

Synthesis of the aminopropyl analogue of the thymine monomer

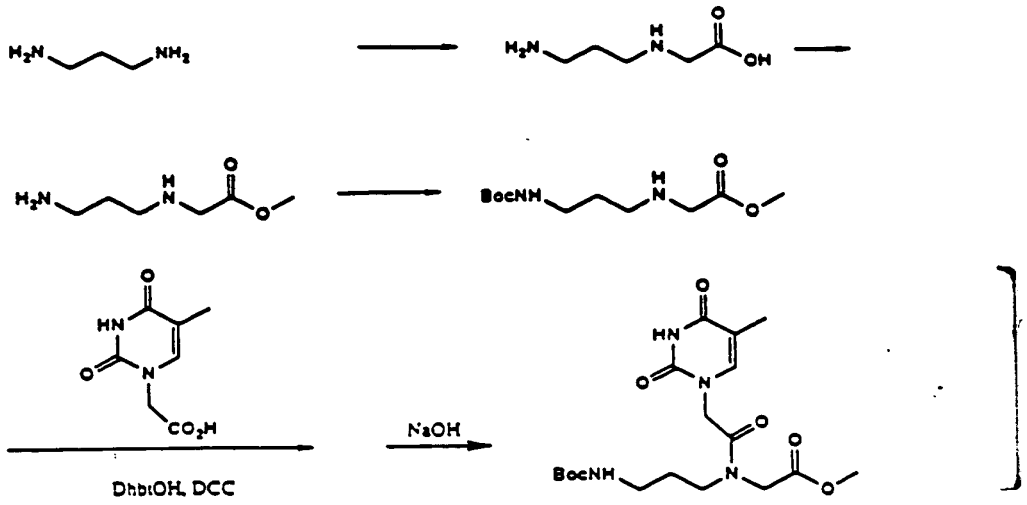


FIGURE 18 (a)

Synthesis of the propionyl analogue of the thymine monomer

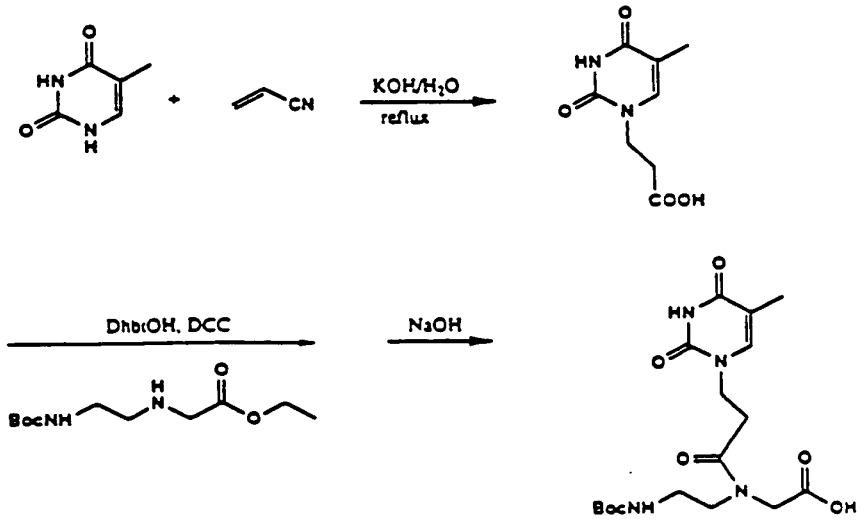


FIGURE 18 (b)

Synthesis of the aminoethyl-ß-alanine analogue of the thymine monomer

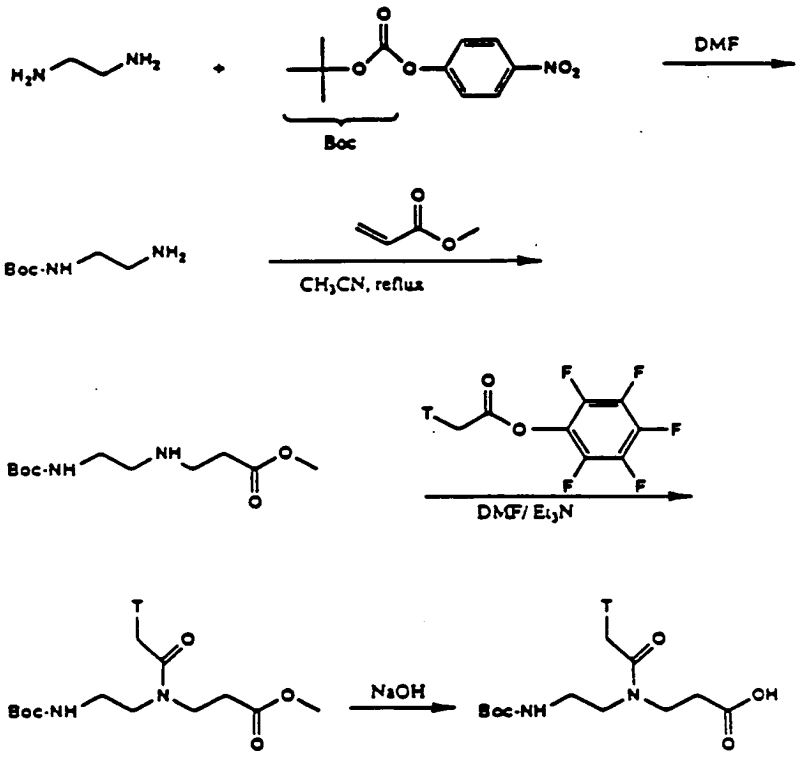


FIGURE 19

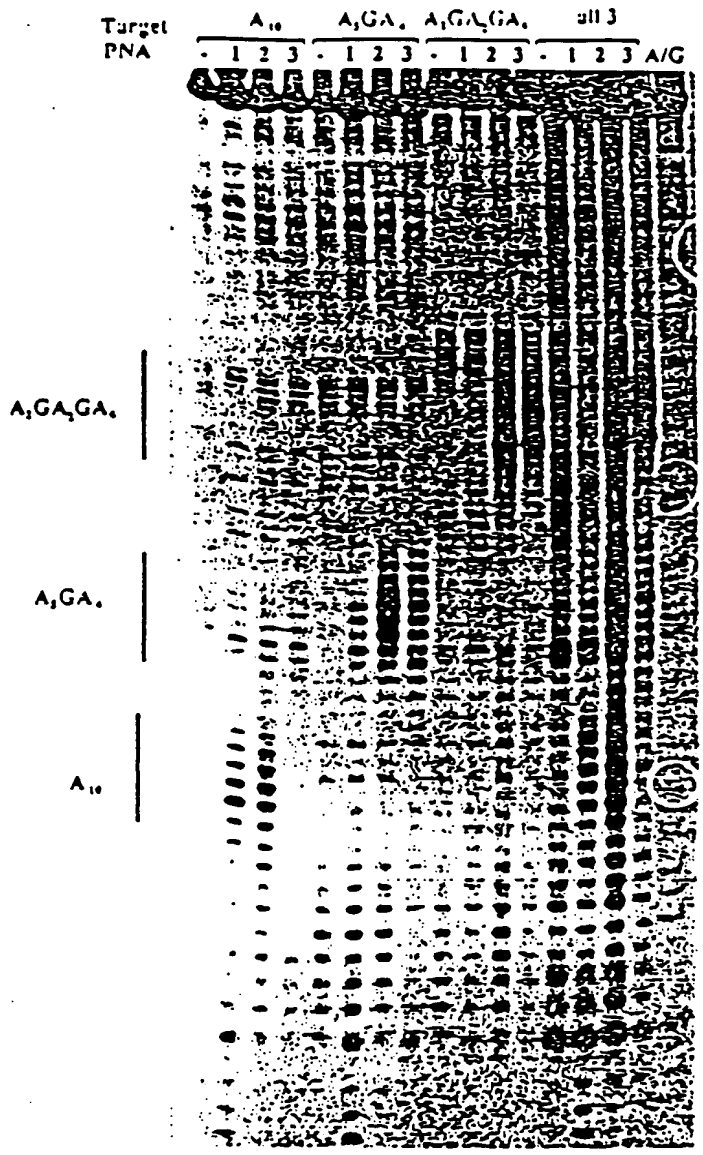

Target
PNA
A10
A3GA4
A1GA3GA4
all 3
- 1 2 3 - 1 2 3 - 1 2 3 - 1 2 3 A/G
A3GA3GA4
A3GA4
A10
PNA 1: T10
PNA 2: T5CT4
PNA 3: T2CT2CT4

FIGURE 20

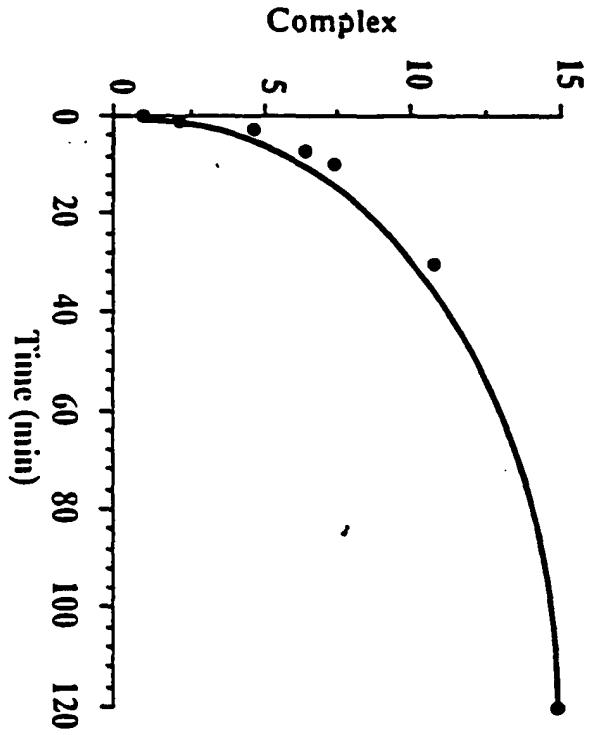


FIGURE 21

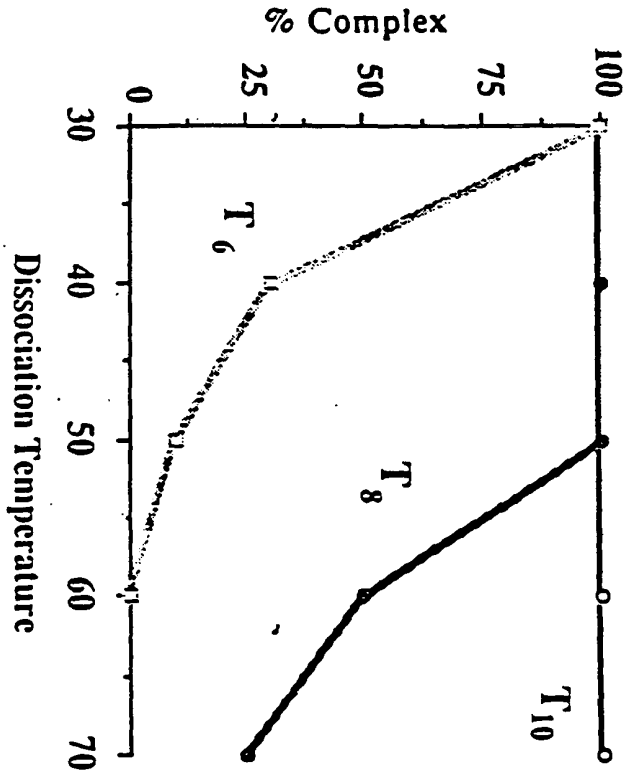
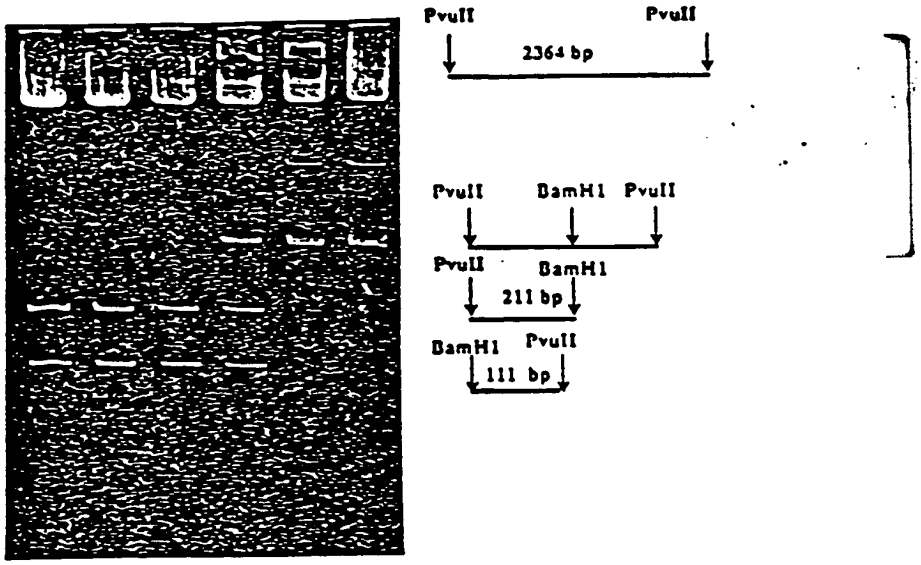

% Complex
0
25
50
75
100
30
40
50
60
70
Dissociation Temperature
T_6
T_8
T_{10}

FIGURE 22

Inhibition of Restriction Enzyme Cleavage by PNA

PNA/DNA 0 0.006 0.02 0.06 0.2 0.6



PNA Target

5'-------GGATCCAAAAAAAAAAGGATCC--------
3'-------CCTAGGTTTTTTTTTTCCTAGG--------

BamH1 BamH1

FIGURE 23

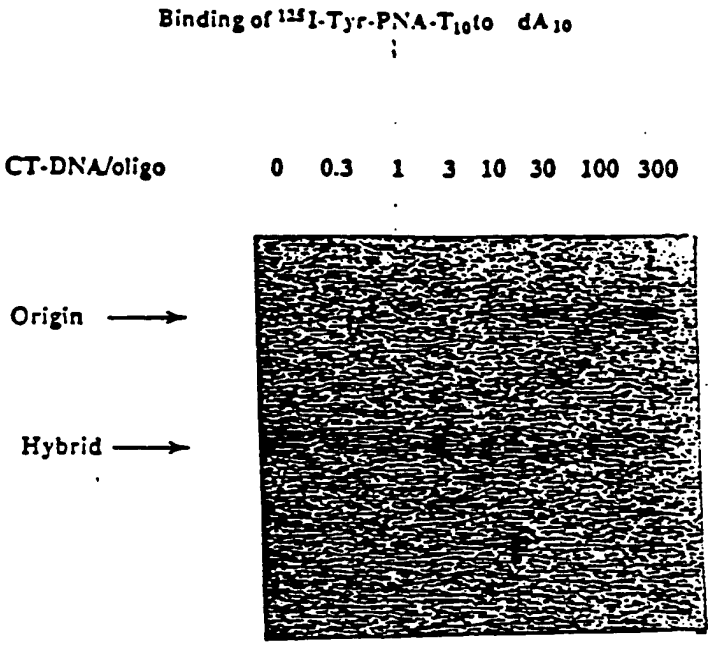

Binding of ^{125}I-Tyr-PNA-T$_{10}$to dA$_{10}$
CT-DNA/oligo
0 0.3 1 3 10 30 100 300
Origin
Hybrid

FIGURE 24

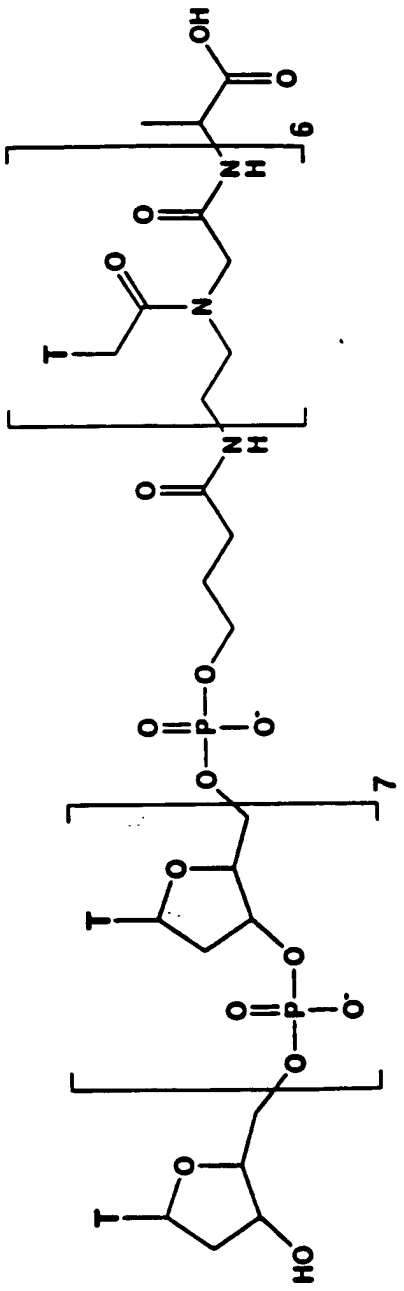


Figure 25

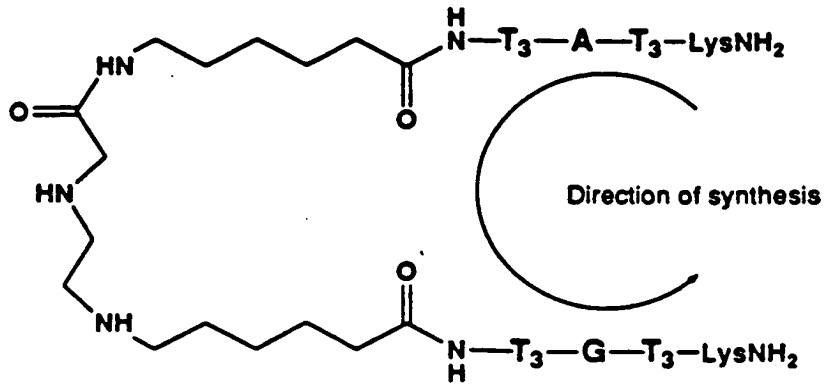
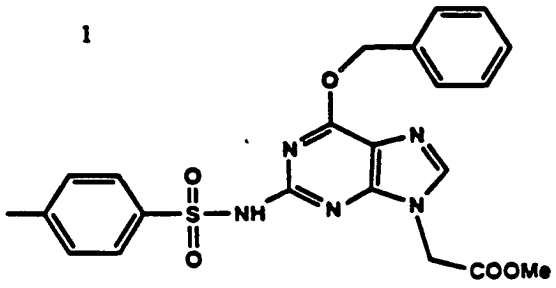

H
N—T3—A—T3—LysNH2
HN
O
O
HN
Direction of synthesis
O
NH
N—T3—G—T3—LysNH2
H

FIGURE 26

Test of the Tosyl-group as N-protecting group in PNA-synthesis

Compound

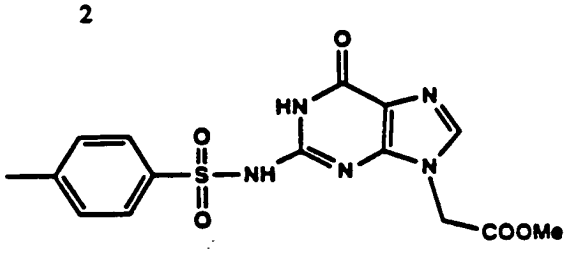
1



compound 1 in
50 % TFA: 50 % Methylene chlride , 5 h, rt.

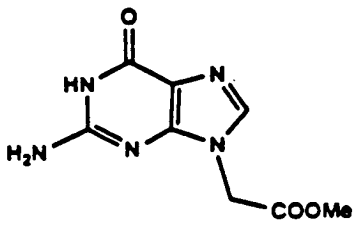
compound 1 in
100 % HF, 0 °C , 1 h

2



Quantitative de-benzylation

3



Quantitative de-benzylation and de-sulfonylation

FIGURE 27